109858

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: 77011 Date: 12/05/03
Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 10/078,530
Mail Box and Bldg/Room Location: 4601 (4612) Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

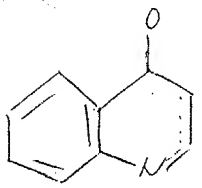
_____

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

RECEIVED DEC - 5 2003 (STIC)

Barb please



Applicants also elected this species. See attached response.

****

STAFF USE ONLY	Type of Search		Vendors and cost where applicable	
Searcher:	PMSB	NA Sequence (#)		STN 350
Searcher Phone #:		AA Sequence (#)		Dialog
Searcher Location:		Structure (#)	2	Questel/Orbit
Date Searcher Picked Up:		Bibliographic		Dr.Link
Date Completed:	12-11-03	Litigation		Lexis/Nexis
Searcher Prep & Review Time:	40	Fulltext		Sequence Systems
Clerical Prep Time:		Patent Family		WWW/Internet
Online Time:	27	Other		Other (specify) ChemDraw

PTO-1590 (8-01)

109858

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: 77011 Date: 12/05/03
Art Unit: 1624 Phone Number 30 6-5814 Serial Number: 10/078,530
Mail Box and Bldg/Room Location: 4E01 Results Format Preferred (circle): PAPER DISK E-MAIL
4E12

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

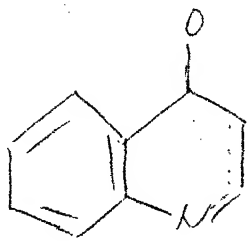
_____

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

RECEIVED
DEC - 5 2003
(STIC)

Barb please



Applicants also elected the species. See attached response.

****

STAFF USE ONLY **Type of Search** **Vendors and cost where applicable**

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 109858

TO: Hong Liu **Location: CM1/4E01&4E12** **Art Unit: 1624** **Thursday, December 11, 2003** **Case Serial Number: 10/078830**	**From: Barb O'Bryen** **Location: Biotech-Chem Library** **CM1-6A05** **Phone: 308-4291** **barbara.obryen@uspto.gov**

Search Notes

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

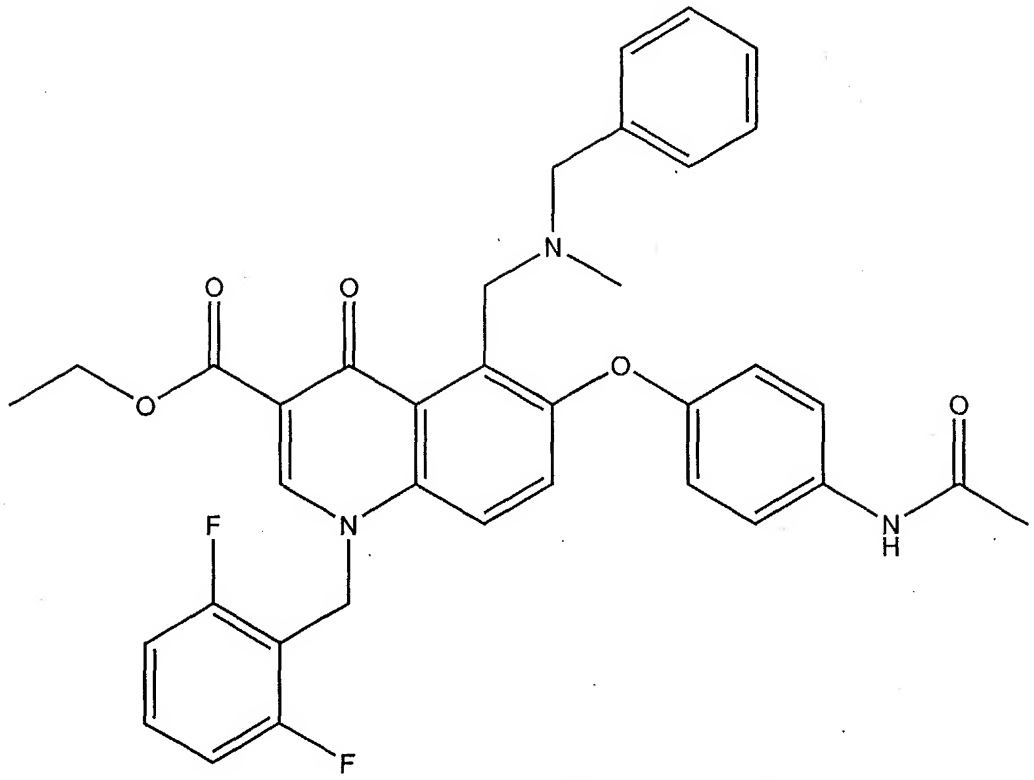
Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ Desk



6-(4-acetamidophenoxy)-5-(n-benzyl-n-methylaminomethyl)-1_(2',6'-difluorobenzyl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid ethyl ester

$C_{36}H_{33}F_2N_3O_5$
Exact Mass: 625.24
Mol. Wt.: 625.66
m/e:
C, 69.11; H, 5.32; F, 6.07; N, 6.72; O, 12.79

=> fil reg; d stat que l9; fil capl; d que nos l10; fil uspatf; d que nos l11; fil marpat; d stat que l14
FILE 'REGISTRY' ENTERED AT 15:44:45 ON 11 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 DEC 2003 HIGHEST RN 625425-12-9
DICTIONARY FILE UPDATES: 10 DEC 2003 HIGHEST RN 625425-12-9

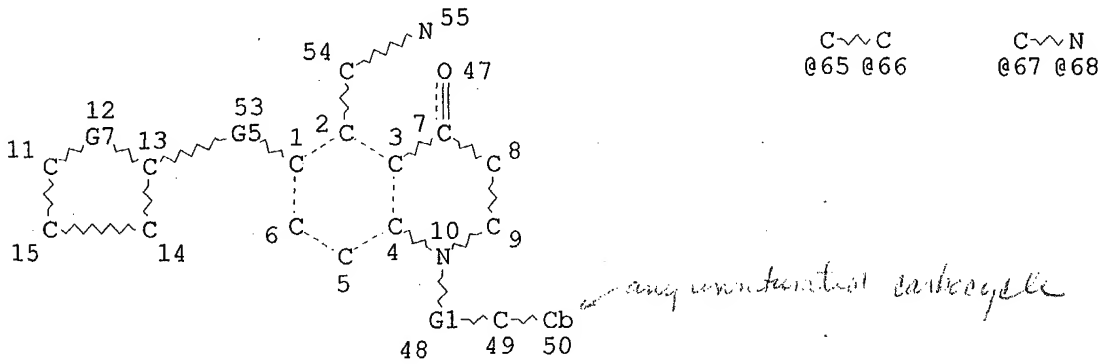
TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L7 STR



REP G1=(0-1) CH2
REP G5=(0-3) A -any non-hydrogen atom
VAR G7=O/S/65-11 66-13/67-11 68-13/67-13 68-11
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 50
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE
L9 5 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 767 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 15:44:45 ON 11 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 11 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 10 Dec 2003 (20031210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L7 STR
L9 5 SEA FILE=REGISTRY SSS FUL L7
L10 2 SEA FILE=CAPLUS ABB=ON L9

FILE 'USPATFULL' ENTERED AT 15:44:45 ON 11 DEC 2003

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 11 Dec 2003 (20031211/PD)
FILE LAST UPDATED: 11 Dec 2003 (20031211/ED)
HIGHEST GRANTED PATENT NUMBER: US6662368
HIGHEST APPLICATION PUBLICATION NUMBER: US2003229929
CA INDEXING IS CURRENT THROUGH 11 Dec 2003 (20031211/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 11 Dec 2003 (20031211/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<
>>> <<<
>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate

substance identification.

L7 STR
L9 5 SEA FILE=REGISTRY SSS FUL L7
L11 1 SEA FILE=USPATFULL ABB=ON L9

FILE 'MARPAT' ENTERED AT 15:44:45 ON 11 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

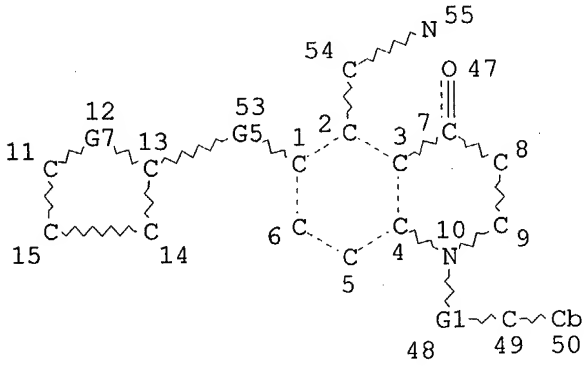
FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS23)(20031205ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6642272 04 NOV 2003
DE 10317295 30 OCT 2003
EP 1361251 12 NOV 2003
JP 2003321470 11 NOV 2003
WO 2003092890 13 NOV 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

L12 STR



C~~C @65 @66

C~~N @67 @68

REP G1=(0-1) CH2
REP G5=(0-3) A
VAR G7=O/S/65-11 66-13/67-11 68-13/67-13 68-11
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 50
GGCAT IS UNS AT 50
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE
L14 12 SEA FILE=MARPAT SSS FUL L12

100.0% PROCESSED 16666 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.32

Searched by Barb O'Bryen, STIC 308-4291

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FILE 'CAPLUS' ENTERED AT 15:44:51 ON 11 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 15:44:51 ON 11 DEC 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MARPAT' ENTERED AT 15:44:51 ON 11 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)
PROCESSING COMPLETED FOR L10
PROCESSING COMPLETED FOR L11
PROCESSING COMPLETED FOR L14
L16           13 DUP REM L10 L11 L14 (2 DUPLICATES REMOVED)
                 ANSWERS '1-2' FROM FILE CAPLUS
                 ANSWER '3' FROM FILE USPATFULL
                 ANSWERS '4-13' FROM FILE MARPAT

=> d ibib abs hitstr 1-3; d ibib abs qhit 4-13; fil cao; d que nos l15; fil hom

L16  ANSWER 1 OF 13  CAPLUS  COPYRIGHT 2003 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2002:658086  CAPLUS
DOCUMENT NUMBER:          137:185497
TITLE:                    Preparation of quinolines, isoquinolines and
                          phthalazines as GnRH antagonists
INVENTOR(S):              Strehlke, Peter; Droescher, Peter; Buehmann, Ulrich;
                          Schmees, Norbert; Muhn, Peter; Hess-Stumpp, Holger;
                          Kuehne, Roland; Guenther, Eckhard; Polymeropoulos,
                          Emmanuel; Ter Laak, Antonius Marinus
PATENT ASSIGNEE(S):       Schering Aktiengesellschaft, Germany
SOURCE:                   PCT Int. Appl., 45 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2002066437      A1   20020829      WO 2002-EP1882   20020221
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     DE 10108271        A1   20020822      DE 2001-10108271 20010221
     EP 1362034         A1   20031119      EP 2002-716803   20020221
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:                    DE 2001-10108271 A  20010221
                                          US 2001-274914P  P  20010313
                                          WO 2002-EP1882   W  20020221
OTHER SOURCE(S):          MARPAT 137:185497

                          Searched by Barb O'Bryen, STIC  308-4291
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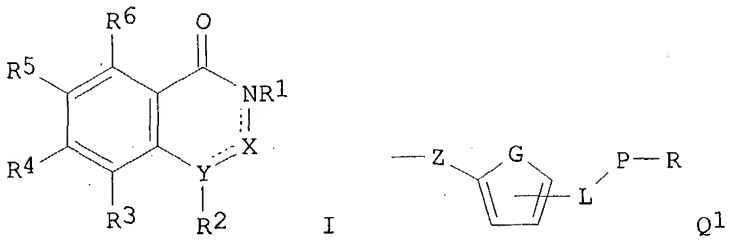
GI

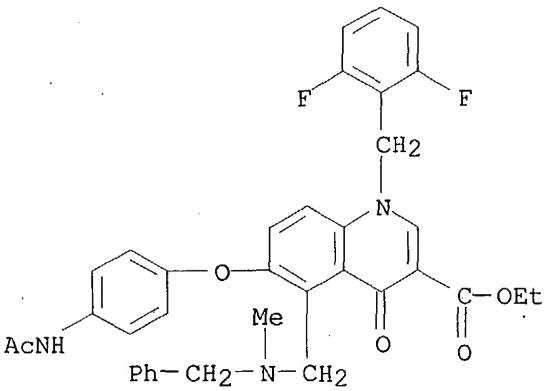


AB Title compds. [I; R1 = COR11, cyano, CO2R12, CONR12R13, etc.; R11, R12 = (satd.) (hetero)cyclyl, alkyl, (substituted) Ph, furanyl, thiophenyl; R13 = H, alkyl; R2 = CHR21R22, etc.; R21 = H, alkyl, (substituted) Ph; R22 = (substituted) Ph, naphthyl; R3 = H, alkyl; R4 = H, alkyl, halo; R5 = Q1; G = CH:CH, CH:N, N:CH, O, S; Z = bond, O, S, etc.; L = CH2, NH; P = CO, SOx; x = 0-2; R = (substituted) amino, (branched) (substituted) alkyl, 3-7 membered cycloalkyl; R6 = CH2NR61R62; R61 = H, alkyl; R62 = alkyl, (substituted) aralkyl], were prepd. Thus, a mixt. of N-benzylamine and N,N-diisopropylethylamine was added to 78 mg 6-(4-acetamidophenoxy)-5-(chloromethyl)-1-(2,6-difluorobenzyl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid Et ester (prepn. given) in DMF at 0.degree. followed by stirring for 20 h at room temp. to give 70 mg 6-(4-acetamidophenoxy)-5-(N-benzyl-N-methylaminomethyl)-1-(2,6-difluorobenzyl)-1,4-dihydro-4-oxoquinoline-3-carboxylic acid Et ester. The anal. of the antagonistic activity is given.

IT **445460-16-2P 445460-26-4P 451485-43-1P 451485-45-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolines, isoquinolines and phthalazines as GnRH antagonists)

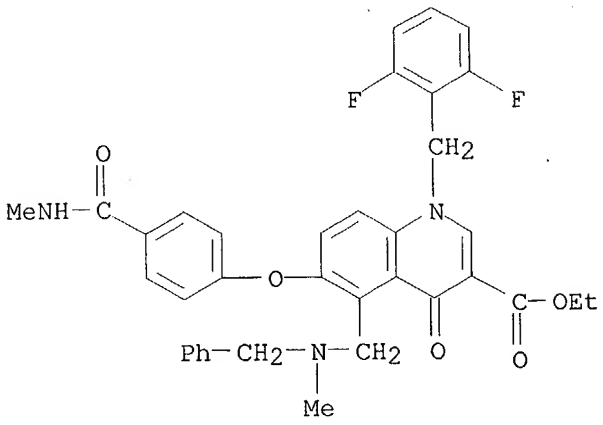
RN 445460-16-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-[4-(acetylamino)phenoxy]-1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

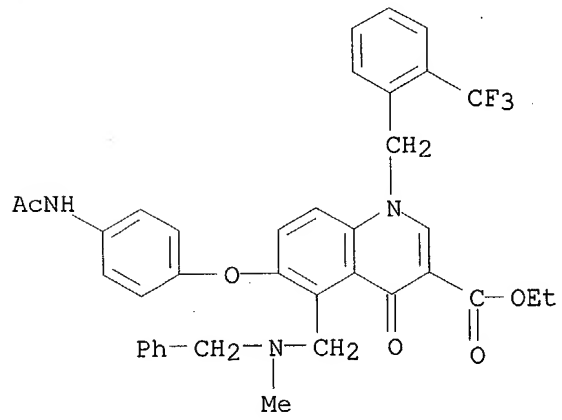


RN 445460-26-4 CAPLUS

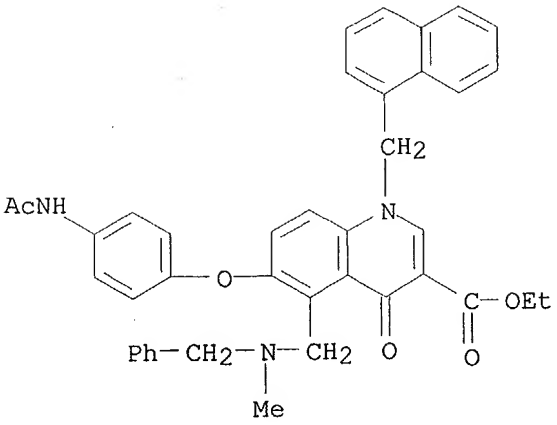
CN 3-Quinolinecarboxylic acid, 1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-6-[4-[(methylamino)carbonyl]phenoxy]-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 451485-43-1 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-[4-(acetylamino)phenoxy]-1,4-dihydro-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-1-[[2-(trifluoromethyl)phenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 451485-45-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-[4-(acetylamino)phenoxy]-1,4-dihydro-5-[[methyl(phenylmethyl)amino]methyl]-1-(1-naphthalenylmethyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2002:632477 CAPLUS
DOCUMENT NUMBER: 137:154937
TITLE: Preparation of quinolines, isoquinolines and phthalazines as GnRH antagonists
INVENTOR(S): Strehlke, Peter; Droescher, Peter; Buehmann, Ulrich; Schmees, Norbert; Muhn, Peter; Hess-Stumpp, Holger; Kuehne, Roland; Guenther, Eckhard; Polymeropoulos, Emmanuel; Ter Laak, Antonius Marinus
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10108271	A1	20020822	DE 2001-10108271	20010221
WO 2002066437	A1	20020829	WO 2002-EP1882	20020221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003105328	A1	20030605	US 2002-78530	20020221
EP 1362034	A1	20031119	EP 2002-716803	20020221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2001-10108271 A 20010221
US 2001-274914P P 20010313
WO 2002-EP1882 W 20020221

OTHER SOURCE(S): MARPAT 137:154937
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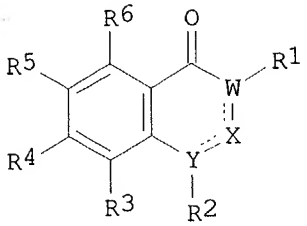
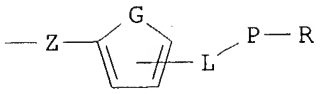


I

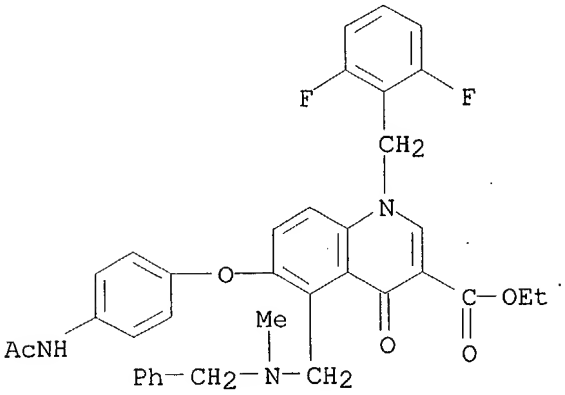


Q1

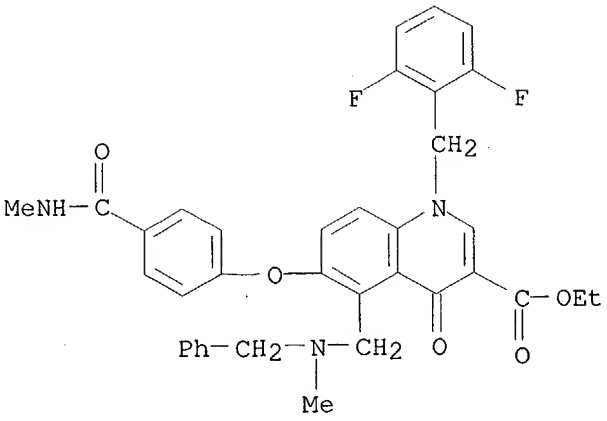
AB Title compds. [I; R1 = COR11, cyano, CO2R12, CONR12R13, etc.; R11, R12 = (satd.) cyclyl, heterocyclyl, alkyl, (substituted) Ph, furanyl, thiophenyl; R13 = H, alkyl; R2 = CHR21R22, etc.; R21 = H, alkyl, (substituted) Ph; R22 = (substituted) Ph, naphthyl; R3 = H, alkyl; R4 = H, alkyl, halo; R5 = Q1; G = C:C, C:N, N:C, O, S; Z = bond, O, S, etc.; L = CH2, NH; P = CO, SOx; x = 0-2; R = (substituted) amino, (branched) alkyl, 3-7 membered cycloalkyl; R6 = CH2NR61R62; R61 = H, alkyl; R62 = alkyl, (substituted) aralkyl, heteroarylalkyl, etc.], were prepd. Thus, N-benzylamine and N,N-diisopropylethylamine was added to 78 mg 6-(4-acetamidophenoxy)-5-(chloromethyl)-1-(2,6-difluorobenzyl)-1,4-dihydro-4-oxoquinoline-3-carboxylic acid Et ester (prepn. given) in DMF at 0.degree. followed by stirring for 20 h at room temp. to give 70 mg 6-(4-acetamidophenoxy)-5-(N-benzyl-N-methylaminomethyl)-1-(2,6-difluorobenzyl)-1,4-dihydro-4-oxoquinoline-3-carboxylic acid Et ester. The anal. of the antagonistic activity is given.

IT **445460-16-2P 445460-26-4P 445460-28-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolines, isoquinolines and phthalazines as GnRH antagonists)

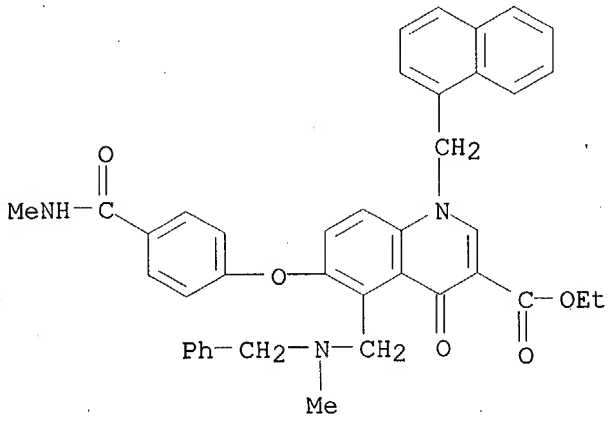
RN 445460-16-2 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-[4-(acetylamino)phenoxy]-1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 445460-26-4 CAPLUS
CN 3-Quinolinecarboxylic acid, 1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-6-[4-[(methylamino)carbonyl]phenoxy]-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 445460-28-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-6-[4-[(methylamino)carbonyl]phenoxy]-5-[[methyl(phenylmethyl)amino]methyl]-1-(1-naphthalenylmethyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



546/156
514/312

L16 ANSWER 3 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2003:153660 USPATFULL
TITLE: Quinoline, isoquinoline and phthalazine derivatives as antagonists of the gonadotropin-releasing hormone
INVENTOR(S): Strehlke, Peter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Droescher, Peter, Weimar, GERMANY, FEDERAL REPUBLIC OF
Buehmann, Ulrich, Berlin, GERMANY, FEDERAL REPUBLIC OF
Schmees, Norbert, Berlin, GERMANY, FEDERAL REPUBLIC OF
Muhn, Peter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Hess-Stumpp, Holger, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kuhne, Ronald, Berlin, GERMANY, FEDERAL REPUBLIC OF
Guenther, Eckhard, Maintal, GERMANY, FEDERAL REPUBLIC OF
Polymeropoulos, Emmanual, Frankfurt, GERMANY, FEDERAL REPUBLIC OF
Ter Laak, Antonius, Harlem, NETHERLANDS
PATENT ASSIGNEE(S): Schering AG, Berlin, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

NUMBER KIND DATE

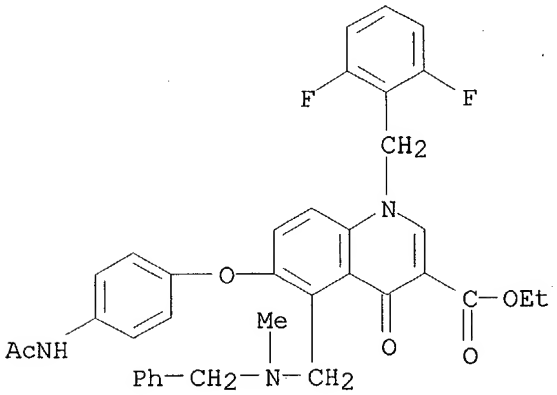
Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION:	US 2003105328	A1	20030605
APPLICATION INFO.:	US 2002-78530	A1	20020221 (10)

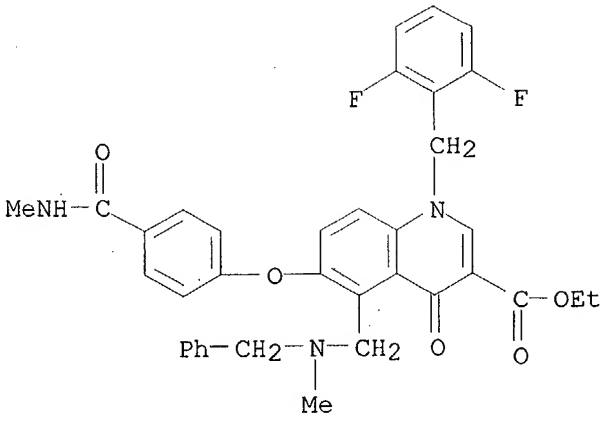
	NUMBER	DATE
PRIORITY INFORMATION:	DE 2001-108271	20010221
	US 2001-274914P	20010312 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 902
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to new quinoline, isoquinoline and phthalazine derivatives as antagonists of the gonadotropin-releasing hormone.

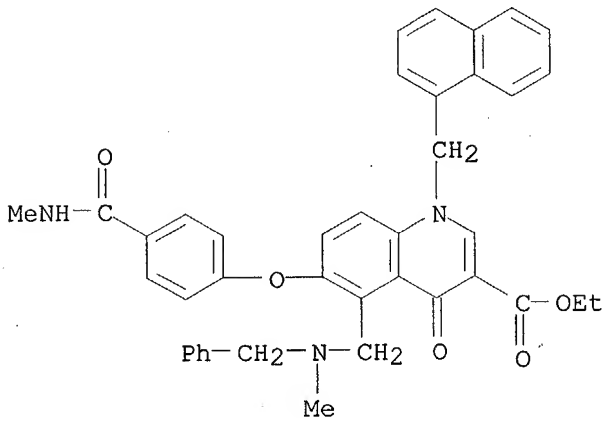
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **445460-16-2P 445460-26-4P 445460-28-6P**
(prepn. of quinolines, isoquinolines and phthalazines as GnRH antagonists)
RN 445460-16-2 USPATFULL
CN 3-Quinolinecarboxylic acid, 6-[4-(acetylamino)phenoxy]-1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 445460-26-4 USPATFULL
CN 3-Quinolinecarboxylic acid, 1-[(2,6-difluorophenyl)methyl]-1,4-dihydro-6-[4-[(methylamino)carbonyl]phenoxy]-5-[[methyl(phenylmethyl)amino]methyl]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 445460-28-6 USPATFULL
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-6-[4-[(methylamino)carbonyl]phenoxy]-5-[[methyl(phenylmethyl)amino]methyl]-1-(1-naphthalenylmethyl)-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 4 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 138:49925 MARPAT
TITLE: Interleukin-8 receptor ligands-drugs for inflammatory and autoimmune diseases
INVENTOR(S): Saxena, Geeta; Tudan, Christopher R.; Cheng, N. Nick; Salari, Hassan
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 800,422.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

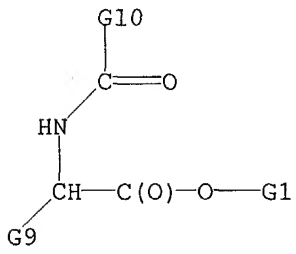
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003004136	A1	20030102	US 2001-992541	20011113

Searched by Barb O'Bryen, STIC 308-4291

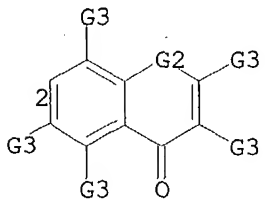
US 2002123483 A1 20020905 US 2001-800422 20010305
US 6515001 B2 20030204
WO 2002069961 A1 20020912 WO 2002-CA208 20020220
WO 2002069961 C1 20031030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-800422 20010305
US 2001-992541 20011113

AB Therapeutic and biol. uses of chemokine receptor-binding compds. (e.g., chemokine receptor ligands such as chemokine receptor agonists or antagonists), such as benzopyrone derivs. and analogs, including uses in the treatment of chemokine and chemokine receptor-mediated diseases, are described. The relevant chemokine may be, for example, interleukin-8 (IL-8), and the relevant chemokine receptors may be, for example, corresponding chemokine receptors (CXCR-1 and/or CXCR-2). In other aspects, the invention provides corresponding pharmaceutical compns. and therapeutic methods. In one aspect, for example, the invention provides for the use of 7-[benzopyrone-5'(3'-amino)-thiazole]-phenylalanine-benyl ester in the treatment of inflammatory and autoimmune diseases.

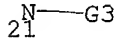
MSTR 1



G1 = 2



G2 = 21



G3 = CH2Ph (SO) / 31

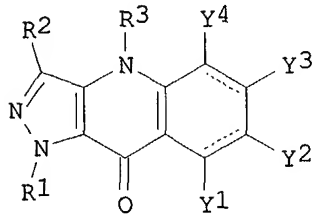
31 C(O)-G4

G4 = NH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts

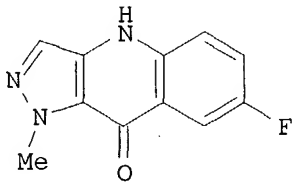
L16 ANSWER 5 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 138:205051 MARPAT
TITLE: Preparation of 1-methyl-1,4-dihydro-9H-pyrazolo[4,3-b]quinoline-9-one derivatives as protein kinase C inhibitors
INVENTOR(S): Kawamura, Kiyoshi; Mihara, Sachiko; Nukui, Seiji; Uchida, Chikara
PATENT ASSIGNEE(S): Pfizer Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 76 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003055376	A2	20030226	JP 2002-182550	20020624
EP 1310498	A2	20030514	EP 2002-254671	20020703
EP 1310498	A3	20030521		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002002563	A	20030429	BR 2002-2563	20020708
US 2003130277	A1	20030710	US 2002-191593	20020709
PRIORITY APPLN. INFO.:			US 2001-304311P	20010709

GI



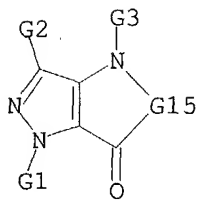
I



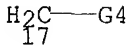
II

AB The title compds. I [wherein R1 = alkyl; R2 = H or (un)substituted amino; R3 = H, halo-CH2, NCCH2, alkyl, (un)substituted amino(carbonyl)CH2, Q1-(CO)CH2, or Q1; Q1 = 4-12 membered (hetero)cyclyl or (hetero)bicyclyl; Y1-Y4 = independently H, halo, alkyl, alkoxy, alkylthio, Q1, (un)substituted amino(carbonyl), alkyl-O2CCH:CH, Q1-CONH, or alkoxycarbonyl] and pharmaceutically acceptable salts are prepd. as protein kinase C inhibitors. For example, 4-iodo-1-methyl-1H-pyrazole-5-carboxylic acid was reacted with 4-fluoroaniline in H2O in the presence of Cu powder and Na2CO3 to give 4-[(4-fluorophenyl)amino]-1-methyl-1H-pyrazole-5-carboxylic acid (61%). The above acid was treated with POCl3 to afford II (38%). I showed IC50 of 0.1-1 .mu.M against protein kinase C.

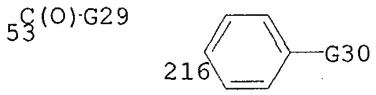
MSTR 1



G3 = 17



G4 = Cb<EC (4-12) C, BD (0-) D, RC (1-2)> (SO (1-) G8)
G15 = o-C6H4 (SR (1-) G16)
G16 = 53 / 216

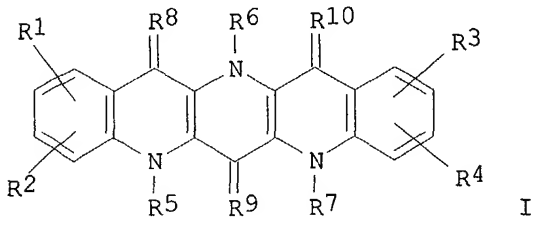


G29 = NH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L16 ANSWER 6 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 138:195596 MARPAT
TITLE: Luminescent triazapentacene derivative for organic electroluminescent device
INVENTOR(S): Suda, Yasumasa
PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003049163	A2	20030221	JP 2001-237223	20010806
PRIORITY APPLN. INFO.:			JP 2001-237223	20010806

GI

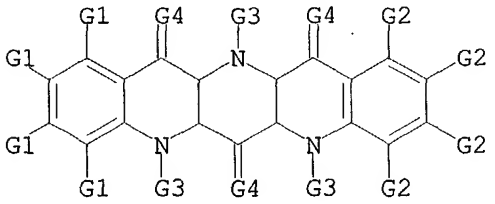


I

Searched by Barb O'Bryen, STIC 308-4291

AB The luminescent triazapentacene deriv. I (R1-4 = H, halo, alkyl, alkenyl, aryl, heterocycle, CO2R11, etc.; R11 = alkyl, alkenyl, aryl, heterocycle; R5-7 = H, alkyl, alkenyl, aryl, heterocycle; R8-10 = oxygen, carbon having two cyano groups, nitrogen having cyano group). An electroluminescent device comprises a plurality of org. thin films, wherein the deriv. is included at least one of the org. films. The deriv. works as a hole-transporting material or a guest for a luminescent host.

MSTR 1



G1 = CN / Ph
G3 = CH2Ph
G4 = O
MPL: claim 1

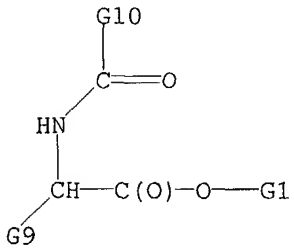
L16 ANSWER 7 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:210944 MARPAT
TITLE: IL-8 receptor ligands - drugs for inflammatory and autoimmune diseases
INVENTOR(S): Saxena, Geeta; Tudan, Christopher R.; Cheng, Nick N.; Salari, Hassan
PATENT ASSIGNEE(S): Chemokine Therapeutics Corporation, Can.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069961	A1	20020912	WO 2002-CA208	20020220
WO 2002069961	C1	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002123483	A1	20020905	US 2001-800422	20010305
US 6515001	B2	20030204		
US 2003004136	A1	20030102	US 2001-992541	20011113
PRIORITY APPLN. INFO.:			US 2001-800422	20010305
			US 2001-992541	20011113

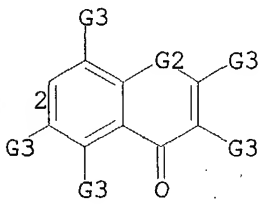
AB The invention provides therapeutic and biol. uses of chemokine-receptor-binding compds. (including chemokine receptor ligands such as chemokine receptor agonists or antagonists), such as benzopyrone derivs., including

uses in the treatment of disease states mediated by chemokines. The relevant chemokine may for example be interleukin-8 (IL-8), and the relevant chemokine receptors may for example be corresponding chemokine receptors (CXCR-1 and/or CXCR-2). In other aspects, the invention provides corresponding pharmaceutical compns. and therapeutic methods. In one aspect, for example, the invention provides for the use of 7-[benzopyrone-5'(3'-amino)-thiazole]-phenylalanine-benzyl ester in the treatment of disease.

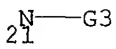
MSTR 1



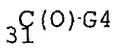
G1 = 2



G2 = 21



G3 = CH2Ph (SO) / 31



G4 = NH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 136:279353 MARPAT
TITLE: Antiparasitic compounds
INVENTOR(S): Jones, Keith; Whitfield, Philip John; Rossiter, Sharon; Matthewson, Michael Derek
PATENT ASSIGNEE(S): King's College London, UK
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026713	A1	20020404	WO 2001-GB4337	20010928

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

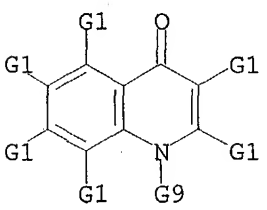
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001092030	A5	20020408	AU 2001-92030	20010928
PRIORITY APPLN. INFO.:			GB 2000-23918	20000929
			WO 2001-GB4337	20010928

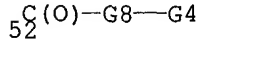
OTHER SOURCE(S): CASREACT 136:279353

AB Approx. 75 quinoline parasiticides were prepd. by cyclization of anilines with malonic acid to give quinolines and the subsequent derivatization of the quinolines. Thus, p-toluidine, malonic acid and POCl3 were refluxed 5 h to give 51% 2,4-dichloro-6-methylquinoline (I), which was refluxed in methanolic NaOMe 40 h to give 84% 2,4-dimethoxy-6-methylquinoline. Ten of the quinoline derivs. were tested as anthelmintics and ecto-parasiticides against Haemonchus contortus, Schistosoma mansoni cercariae, Caenorhabditis elegans, Lucilla cuprina, and Boophilus microplus. E.g., the LD50 for I against C. elegans after 60 min was 1.5 .mu.M.

MSTR 3



G1 = 52 / Ph (SO (1-) G10)



G8 = NH
G9 = CH2Ph
MPL: claim 1
NTE: or pharmaceutically acceptable salts, solvates or quaternary ammonium salts
NTE: substitution is restricted

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:195572 MARPAT
TITLE: IL-8 receptor ligands - drugs for inflammatory and autoimmune diseases
INVENTOR(S): Saxena, Geeta; Tudan, Christopher R.; Cheng, Nick; Salari, Hassan

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002123483	A1	20020905	US 2001-800422	20010305
US 6515001	B2	20030204		
US 2003004136	A1	20030102	US 2001-992541	20011113
WO 2002069961	A1	20020912	WO 2002-CA208	20020220
WO 2002069961	C1	20031030		

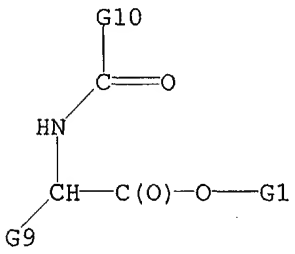
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

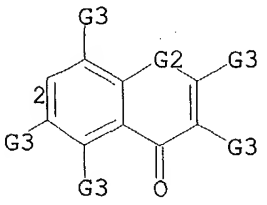
PRIORITY APPLN. INFO.: US 2001-800422 20010305
US 2001-992541 20011113

AB The invention provides therapeutic and biol. uses of chemokine-receptor-binding compds. (including chemokine receptor ligands such as chemokine receptor agonists or antagonists), such as benzopyrone derivs., including uses in the treatment of disease states mediated by chemokines. The relevant chemokine may for example be interleukin-8 (IL-8), and the relevant chemokine receptors may for example be corresponding chemokine receptors (CXCR-1 and/or CXCR-2). In other aspects, the invention provides corresponding pharmaceutical compns. and therapeutic methods. In one aspect, for example, the invention provides for the use of 7-[benzopyrone-5'(3'-amino)-thiazole]-phenylalanine-benzyl ester in the treatment of disease.

MSTR 1



G1 = 2



G2 = 21

21 N—G3

G3 = CH2Ph (SO) / 31

31 C(O)G4

G4 = NH2
MPL: claim 1

L16 ANSWER 10 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 132:308362 MARPAT
TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)
INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

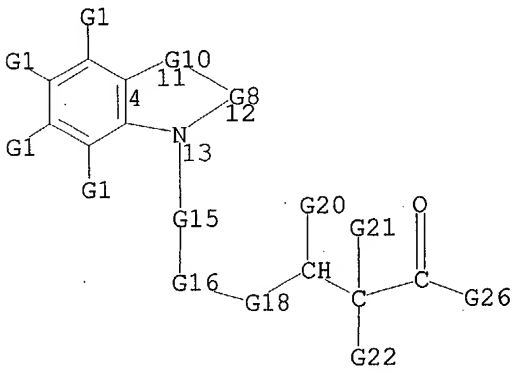
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023425	A1	20000427	WO 1999-DK570	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961902	A1	20000508	AU 1999-61902	19991019
EP 1123279	A1	20010816	EP 1999-948738	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527507	T2	20020827	JP 2000-577153	19991019
US 6468996	B1	20021022	US 1999-419761	19991019
US 2002103188	A1	20020801	US 2002-76574	20020208
US 2002111344	A1	20020815	US 2002-76573	20020208
US 2002115657	A1	20020822	US 2002-76575	20020208
PRIORITY APPLN. INFO.:			DK 1998-1352	19981021
			US 1998-105912P	19981028
			US 1999-419761	19991019
			WO 1999-DK570	19991019

GI

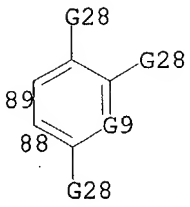
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

MSTR 1



G1 = CN / Ph
G8 = 89-11 88-13



G10 = C(O)
G15 = (1-4) CH2
G18 = arylene (SO (1-) G19)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution and ring formation also claimed

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 126:192684 MARPAT
TITLE: Organic electroluminescent phosphors
INVENTOR(S): Tamano, Michiko; Onikubo, Shunichi; Enokida, Toshio
PATENT ASSIGNEE(S): Toyo Ink Mfg Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09013026	A2	19970114	JP 1996-107452	19960426
PRIORITY APPLN. INFO.:			JP 1995-105220	19950428

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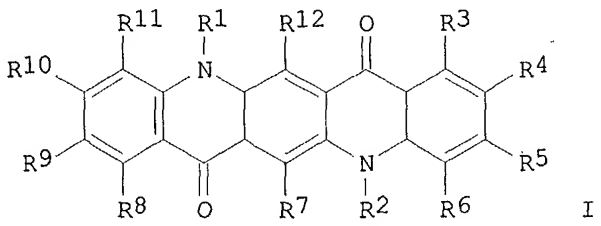
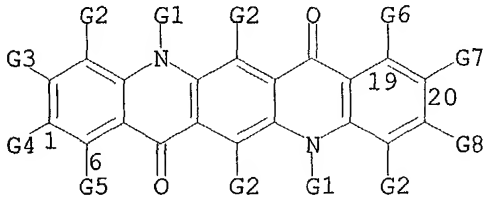


I

AB A long-life high-luminance electroluminescent phosphor is represented by a quinacridone deriv. I(R1,2 = alkyl, arom. ring; R3-12 = H, halo, alkyl, alkoxy, thioalkoxy, CN, (substituted) amino, OH, mercapto, aryloxy, arylthio, alkyl ring, arom. ring, heterocyclic ring).

MSTR 1



G1 = CH2Ph
G4 = Ph
G5 = CN
MPL: claim 1
NTE: additional ring formation also claimed

L16 ANSWER 12 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 126:31277 MARPAT
TITLE: Quinoline derivatives useful as endothelin receptor antagonists, process for their preparation, the resultant intermediates, their use as medicaments, and pharmaceutical compositions containing them
INVENTOR(S): Hawsslein, Jean-Luc
PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.; Haesslein, Jean-Luc
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9633190	A1	19961024	WO 1996-FR591	19960418
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2733233	A1	19961025	FR 1995-4722	19950420
FR 2733233	B1	19970530		
PRIORITY APPLN. INFO.:			FR 1995-4722	19950420

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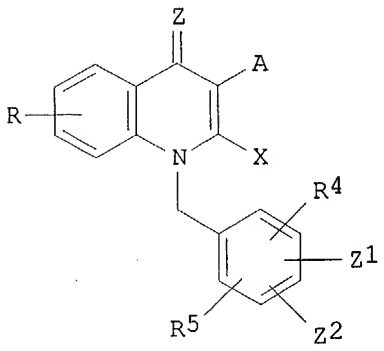
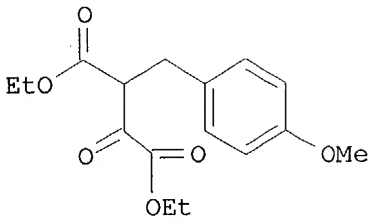
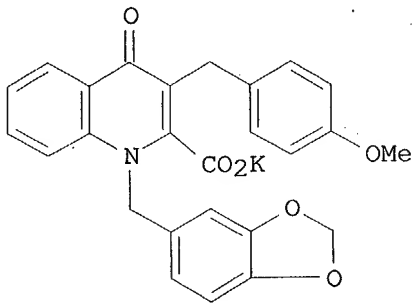


I



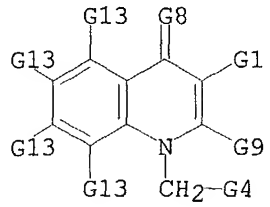
II



III

AB The invention concerns compds. I and their isomers and addn. salts [wherein A = H or CH2B; B = alkyl, C6H3R1R2R3, (un)substituted 3-pyridyl, cyclohexyl, or 2-furyl; Z1, Z2 = H, or together form fused carbo- or heterocyclic (O, S, N, NH) ring; Z = O or S; X = CO2H or derivs., tetrazolyl, CONHSO2R6; R6 = (un)substituted alkyl, alkenyl or Ph; R = H, halo, OH, SH, CO2H, alkyl, phenylthioalkyl, alkoxy, Ph, naphthyl, PhCH2, PhCH2CH2, various heterocycles, and PhS, most of which may be substituted; R1-R5 = H, halo, OH, alkyl, alkoxy, cyano, NO2, etc.; or R2R3 may likewise form the rings formed by Z1 and Z2, with the proviso that when A = H, then Z1Z2 form ring]. I are endothelin receptor antagonists, useful for treatment of vascular spasms, renal insufficiency, atherosclerosis, hypertension, asthma, osteoporosis, etc. For example, the intermediate II (prepn. given) underwent a sequence of condensation with aniline, thermal cyclization to a dihydroquinolone, N-alkylation with piperonyl bromide, and hydrolysis with aq. ethanolic KOH, to give title potassium salt III. In tests for inhibition of endothelin receptors A and B in vitro, III had IC50 values of 10.6 nM and 606 nM, resp.

MSTR 1



G4 = Ph (SO (1-2) G16)
G8 = O
G13 = CN / Ph (SO)
DER: esters or salts
DER: and pharmaceutically acceptable acid addition salts
DER: or protected derivatives
MPL: claim 1
NTE: additional ring formation allowed
STE: racemics, enantiomers, and diastereoisomers

L16 ANSWER 13 OF 13 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 115:114357 MARPAT
TITLE: Preparation of phenoxyacridones, -xanthanes, and -thioxanthanes as photochromic compounds
INVENTOR(S): Fischer, Evelyn; Fischer, Walter; Finter, Juergen; Meier, Kurt; Roth, Martin
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 430881	A2	19910605	EP 1990-810897	19901120
EP 430881	A3	19911023		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 5310909	A	19940510	US 1990-616550	19901121
CA 2030955	AA	19910530	CA 1990-2030955	19901127
JP 03190870	A2	19910820	JP 1990-326148	19901129
US 5432049	A	19950711	US 1994-189822	19940201
PRIORITY APPLN. INFO.:			CH 1989-4270	19891129
			US 1990-616550	19901121

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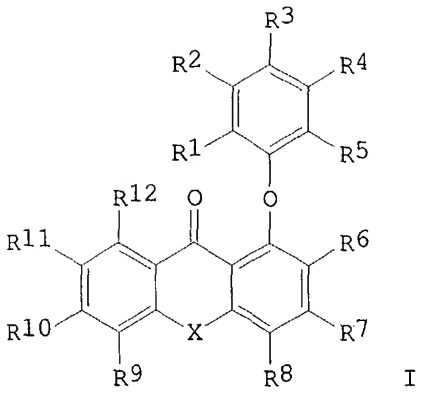
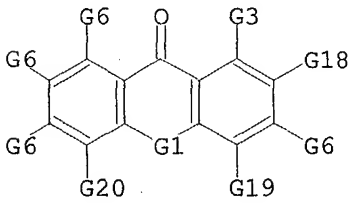


I

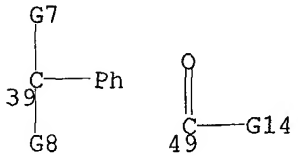
AB Title compds. I [X = O, S, SO, SO2, NR13; R1-R5 = H, alkyl, alkoxy, halo, CF3, cyano, NO2, OH, (substituted) amino, CO2H, CONH2, triazin-2-yl, etc.; or R3R4, R4R5 = CH:CHCH:CH; R6-R12 = H, alkoxy, acyloxy, halo, CF3, cyano, (substituted) alkyl, aryl, aralkyl, aroyl, aryloxy, amino, etc.; or adjacent R6-R12 = COOCO, CONR13CO; R13 = H, (substituted) alkyl, acyl, Ph, CH2Ph] are prepd. as photosensitizers, color indicators, and photo-switchable elements. Thus, N-methyl-1,2,4-trichloroacridone, phenol, and K2CO3 were stirred in N-methylpyrrolidone at 100.degree. for 18 h to give 93% I (X = NMe, R6 = R8 = Cl, others = H) (II). In two light-sensitive offset plate compns. in Stouffer wedge tests, II gave very visible images with a stability of 24 h, with the last-copied step being 9 or 10.

MSTR 2



G1 = 17

G2 = CH2Ph (SO)
G6 = 39 / 49



G14 = NH2 (SO)
MPL: claim 15
NTE: additional ring formation claimed

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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